

- [54] **METHOD FOR CARRYING OUT THE ORGANIC SYNTHESIS OF OLIGOSACCHARIDES CONTAINING GALACTOSAMINE-URONIC ACID PATTERNS, NEW OLIGOSACCHARIDES OBTAINED AND BIOLOGICAL APPLICATIONS THEREOF**
- [75] Inventors: **Jean-Claude Jacquinet**, Orleans-La Source; **Maurice Petitou**, Paris; **Pierre Sina**, Orleans; **Jean Choay**, Paris, all of France
- [73] Assignee: **Choay, S.A.**, Paris, France
- [\*] Notice: The portion of the term of this patent subsequent to Aug. 19, 2003 has been disclaimed.
- [21] Appl. No.: **856,855**
- [22] Filed: **Apr. 21, 1986**

## Related U.S. Application Data

- [63] Continuation of Ser. No. 624,628, filed as PCT FR83/00217 on Oct. 27, 1983, published as WO84/01777 on May 10, 1984, abandoned.

## [30] Foreign Application Priority Data

- Oct. 27, 1982 [FR] France ..... 82 18003
- [51] Int. Cl.<sup>5</sup> ..... **A61K 31/70; C07H 5/06**
- [52] U.S. Cl. .... **536/123; 536/21; 536/4.1; 536/18.5; 536/18.6; 536/124**
- [58] Field of Search ..... **536/123, 18.5, 18.6, 536/4.1, 21, 124; 514/53**

## [56] References Cited

### U.S. PATENT DOCUMENTS

- 4,435,387 3/1984 Schaub et al. .... 536/18.5
- 4,607,025 8/1986 Petitou et al. .... 514/53

### FOREIGN PATENT DOCUMENTS

- 0014184 8/1980 European Pat. Off. .
- 0027089 4/1981 European Pat. Off. .
- 0048231 3/1982 European Pat. Off. .
- 0064012 11/1982 European Pat. Off. .
- 0084999 8/1983 European Pat. Off. .

### OTHER PUBLICATIONS

Tetrahedron Letters, No. 5, Klemmer, pp. 431-433, 1972.

Tetrahedron Letters, No. 30, Kiss and Wyss, pp. 3055-3058, 1972.

Carbohydrate Research, vol. 105, No. 1, Ogamo et al., Jul. 7, 1982.

Carbohydrate Research, vol. 103, No. 1, Seno, May 1, 1982.

Carbohydrate Research, vol. 78, No. 2, Klein, Jan. 15, 1980.

Carbohydrate Research, vol. 87, No. 2, Ayotte et al., Dec. 15, 1980.

Bulletin de la Societe de Chimie Biologique, Tome XLII, 1960, Nos. 9-10, Barker et al., 25 Jan. 1961.

Helvetica Chimica Acta, H. C. A. vol. 58, Fascs 6, Wyss et al., pp. 1847-64 *The Journal of Biochemistry*, vol. 92, No. 1, Kosoia, Jul. 1982.

IUPAC Pure & Applied Chemistry, vol. 50, Sinay, pp. 1437-1452.

Angewandte Chemie, vol. 21, No. 3, Paulsen, Mar. 1982, pp. 155-224.

Organic Chemistry, 2nd Ed., Louis F. Fieser & Mary Fieser, pp. 229-231.

Methods in Carbohydrate Chemistry, vol. VIII, 1980, Marthorpe, pp. 305-311.

Clinica Chimica Acta, 123, Hopwood, pp. 241-250, 8/82.

Primary Examiner—Ronald W. Griffin

Attorney, Agent, or Firm—Davis, Hoxie, Faithfull & Hapgood

## [57] ABSTRACT

Novel processes for synthesizing acid mucopolysaccharide fragments having from 2-12 saccharides and substantially pure products of a single structure produced thereby. Condensation are disclosed between a first protected saccharide and a second protected saccharide to form a protected condensation product having units linked in the manner found in chondroitin sulfate and dermatan sulfate and having protecting groups thereon which allow selective positioning of functional groups, in particular sulfate, at desired positions. Other condensations are disclosed in which a protected condensation product is formed which can be elongated, and has protecting groups thereon which allow selective positioning of functional groups, in particular sulfate, at desired positions. Also disclosed is a process for selectively positioning functional groups on a protected acid mucopolysaccharide having from 2-12 units.

**53 Claims, 9 Drawing Sheets**